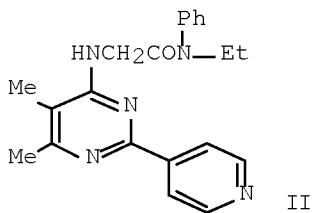
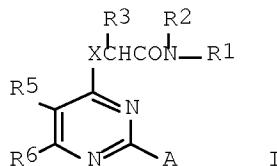


TITLE: Preparation and formulation of pyrimidine derivatives as pharmaceuticals with affinity for peripheral benzodiazepine receptors
 INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Furukawa, Kiyoshi; Oka, Makoto
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 107 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809960	A1	19980312	WO 1997-JP3079	19970903 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9707427	A	19980302	ZA 1997-7427	19970819 <--
AU 9741342	A	19980326	AU 1997-41342	19970903 <--
PRIORITY APPLN. INFO.:			JP 1996-255420	A 19960904 <--
			WO 1997-JP3079	W 19970903 <--

OTHER SOURCE(S): MARPAT 128:230383

GI



AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, etc.; R2 represents lower alkyl, lower alkenyl, etc.; R3 represents H, lower alkyl, etc.; R4 represents H or lower alkyl; R5 represents H, lower alkyl, etc. or halogeno, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc.; R6 represents H, lower alkyl, etc. or hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc., or R5 and R6 may form together (CH2)_n (wherein n is 3 to 6); and A represents optionally substituted heteroaryl or optionally

substituted Ph] are prepared. These compds. are expected to be useful as remedies and preventives for central diseases, for example, diseases associated with anxiety, such as neurosis and psychosomatic disorder, depression and epilepsy; circulatory diseases such as angina pectoris and hypertension; immunol. nervous diseases such as multiple sclerosis; or immunol. inflammatory diseases such as rheumatism. In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II showed IC₅₀ of 0.25 nM.

IT 204393-83-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

RN 204393-83-9 ZCPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-(1H-pyrazol-1-yl)-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

